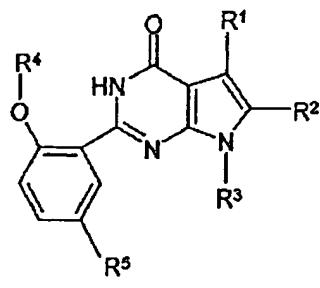


What claims is:

IAP12 Rec'd PCT/PTO 06 DEC 2005

1. (original) A compound of the general formula I:



wherein R¹ is H; C₁~C₄ branched or straight chain alkyl; C₁~C₄ halogenated branched or straight chain alkyl; C₂~C₆ alkenyl; C₂~C₄ alkynyl; pyridyl, pyrimidinyl, imidazolyl; except H, the above substituents may be optionally substituted with one or more following groups: halogen, cyano, nitro, hydroxyl, carboxyl, guanidino, C₁~C₄ alkyl, C₁~C₄ alkoxy, C₁~C₄ alkanoyl, C₃~C₅ cycloalkyl, substituted phenyl, substituted heterocyclic group, CONR⁵R⁶, NR⁵R⁶, CO₂R⁷, NHSO₂R⁸ or SO₂NR⁹R¹⁰;

R² is H; C₁~C₃ branched or straight chain alkyl; C₁~C₃ halogenated branched or straight chain alkyl; C₂~C₆ alkenyl; C₂~C₄ alkynyl; substituted phenyl; except H, the above substituents may be optionally substituted with one or more following groups: halogen, cyano-, nitro, hydroxyl, carboxyl, guanidino-, C₁~C₄ alkyl, C₁~C₄ alkoxy, C₁~C₄ alkanoyl, C₃~C₅ cycloalkyl, substituted heterocyclic group, CONR⁶R⁷, NR⁶R⁷, CO₂R⁸, NHSO₂R⁹ or SO₂NR¹⁰R¹¹;

R³ is H; C₁~C₆ branched or straight chain alkyl which may be optionally substituted with C₃~C₆ cycloalkyl or C₁~C₄ alkoxy; C₂~C₄ alkenyl; C₂~C₄ alkynyl;

R⁴ is H; C₁~C₆ branched or straight chain alkyl which may be optionally substituted with C₃~C₆ cycloalkyl or C₁~C₄ alkoxy; C₂~C₄ alkenyl; C₂~C₄ alkynyl;

R⁵ is H; C₁~C₄ branched or straight chain alkyl which may be optionally

- 3 -

substituted with OH, NR⁶R⁷, CN, CONR⁶R⁷ or CO₂R⁸; C₂~C₄ alkenyl which may be optionally substituted with CN, CONR⁶R⁷ or CO₂R⁸; C₂~C₄ alkoxyl optionally substituted with NR⁶R⁷; (C₂~C₃ alkoxyl) C₁~C₂ branched or straight chain alkyl optionally substituted with OH or NR⁶R⁷; CONR⁶R⁷;
5 CO₂R⁸; halogen; NR⁶R⁷; NHSO₂NR⁶R⁷; NHSO₂R⁹; SO₂NR¹⁰R¹¹; or phenyl, pyridyl, pyrimidinyl, imidazolyl, oxazolyl, thiazolyl, thietyl, or triazolyl, either of which is optionally substituted with methyl;

R⁶ and R⁷ are each independently H or C₁~C₄ branched or straight chain alkyl; or R⁶ and R⁷ together with their attached nitrogen atom form
10 pyrrolinyl, piperidyl, morpholinyl, 4-N(R¹²)-piperazinyl or imidazolyl, either of which is optionally substituted with methyl or hydroxyl;

R⁸ is H; C₁~C₆ branched or straight chain alkyl optionally substituted with C₁~C₄ alkoxyl, C₁~C₄ alkylamino, dialkylamino; substituted phenyl and substituted heterocyclic group in which the substitut(s) on the ring
15 of substituted phenyl and substituted heterocyclic group are defined as the above;

R⁹ is C₁~C₃ alkyl optionally substituted with NR⁶R⁷;

R¹⁰ and R¹¹ are each independently H or C₁~C₁₂ branched or straight chain alkyl; C₁~C₃ halogenated branched or straight chain alkyl; C₂~C₆ alkenyl;
20 C₂~C₆ alkynyl or C₃~C₆ cycloalkyl; or R¹⁰ and R¹¹ take together to form a pyrrolinyl, pyrrolinone group, piperidyl, morpholinyl, 4-N(R¹³)-piperazinyl; or R¹⁰ and R¹¹ together with their attached nitrogen atom form a pyrrolinyl, pyrrolinone group, piperidyl, morpholinyl, 4-N(R¹³)-piperazinyl which are optionally substituted with OH, CN, CO₂R⁸,
25 C₁~C₄ branched or straight chain alkyl, C₁~C₃ alkoxyl, NR¹⁴R¹⁵ or CONR¹⁴R¹⁵; substituted phenyl, substituted heterocyclic group, or C₁~C₆ branched or straight chain alkyl substituted with substituted phenyl or substituted heterocyclic group, the said groups are optionally further substituted with OH, CO₂R⁸, NR¹⁴R¹⁵, CONR¹⁴R¹⁵, or linked together with

another substituted phenyl or substituted heterocyclic group by a carbonyl group;

R¹² is H; C₁~C₆ branched or straight chain alkyl which may be optionally substituted with phenyl, C₂~C₃ alkyl substituted by hydroxyl ,or C₁~C₄ 5 alkoxy; C₁~C₃ fluoroalkyl; C₂~C₆ alkenyl; C₂~C₆ alkynyl; or C₃~C₆ cycloalkyl; R¹³ is H; C₁~C₆ branched or straight chain alkyl; C₂~C₆ branched or straight chain alkyl substituted with C₁~C₃ alkoxy; C₂~C₆ branched or straight chain alkyl substituted with hydroxyl; C₂~C₆ branched or straight chain alkyl substituted with NR¹⁴R¹⁵; C₂~C₆ branched or straight 10 chain alkyl substituted with phenyl; C₁~C₆ branched or straight chain alkyl substituted with CONR¹⁴R¹⁵; C₂~C₆ branched or straight chain hydrocarbyl substituted with CO₂R⁸; C₂~C₆ branched or straight chain hydrocarbyl having substituted phenyl or substituted heterocyclic group 15 as substituent; CO₂R⁸, CONR¹⁴R¹⁵, CSNR¹⁴R¹⁵ or C(NH)NR¹⁴R¹⁵; C₁~C₃ halogenated branched or straight chain alkyl; C₂~C₆ alkenyl; C₂~C₆ alkynyl or C₃~C₆ cycloalkyl; or polyethylene glycol group (n=2~20), which is optionally substituted with C₁~C₆ alkyl on its terminal;

R¹⁴ and R¹⁵ are each independently H; C₁~C₄ branched or straight chain alkyl; C₂~C₄ branched or straight chain alkyl substituted with C₁~C₃ 20 alkoxy; or C₂~C₄ branched or straight chain alkyl substituted with hydroxyl; or R¹⁴ and R¹⁵ together with their attached nitrogen atom form a pyrrolinyl, pyrrolinone group, piperidyl or morpholinyl; and the substituted phenyl refers to a phenyl which is substituted with one or more groups selected from C₁~C₄ alkoxy, halogen, cyano-, CF₃, OCF₃, 25 C₁~C₄ branched or straight chain alkyl on the phenyl ring; The substituted heterocyclic group refers to hexatomic rings containing one or two nitrogen atoms ; and the oxides thereof; pentatomic rings containing two or three hetero-atom selected a group consisted of nitrogen, oxygen, and sulfur atoms; the substituting groups on the heterocyclic ring are

$C_1\sim C_4$ branched or straight chain alkyl, $C_1\sim C_4$ alkoxy, amino, as well as $C_1\sim C_4$ branched or straight chain alkyl amino, $C_1\sim C_4$ alkoxyamino group; Or their pharmaceutically acceptable salts .

- 5 2. (original) The compound according to claim 1, wherein: R^1 is $C_1\sim C_3$ branched or straight chain alkyl optionally substituted with one or more groups selected from a group consisted of the following: $C_1\sim C_4$ alkyl, $C_1\sim C_4$ alkoxy, $C_1\sim C_4$ alkanoyl, substituted phenyl, substituted heterocyclic group, $CONR^6R^7$ and NR^6R^7 ;
- 10 R^2 is H; $C_1\sim C_3$ branched or straight chain alkyl optionally substituted with one or more groups selected from a group consisted of the following: substituted phenyl, substituted heterocyclic group, $CONR^6R^7$, and NR^6R^7 ; R^3 is H; $C_2\sim C_4$ branched or straight chain alkyl which may be optionally substituted with $C_3\sim C_4$ cycloalkyl, $C_1\sim C_3$ alkoxy; $C_2\sim C_4$ alkenyl; or $C_2\sim C_4$ alkynyl;
- 15 R^4 is H; $C_1\sim C_4$ branched or straight chain alkyl which may be optionally substituted with $C_3\sim C_5$ cycloalkyl or $C_1\sim C_3$ alkoxy; $C_2\sim C_4$ alkenyl; or $C_2\sim C_4$ alkynyl;
- 20 R^5 is H; $C_1\sim C_4$ branched or straight chain alkyl which may be optionally substituted with OH, NR^6R^7 , CN, $CONR^6R^7$ or CO_2R^8 ; $C_2\sim C_4$ alkoxy optionally substituted with NR^6R^7 ; NR^6R^7 ; $NHSO_2NR^6R^7$; $NHSO_2R^9$; $SO_2NR^{10}R^{11}$; or phenyl, pyridyl, pyrimidinyl, imidazolyl, oxazolyl, thiazolyl, thieryl or triazolyl, either of which is optionally substituted with methyl;
- 25 R^6 and R^7 are each independently H; $C_1\sim C_4$ branched or straight chain alkyl, or R^6 and R^7 together with their attached nitrogen atom form a pyrrolinyl, piperidyl, morpholinyl, 4-N(R^{12})-piperazinyl or imidazolyl, either of which is optionally substituted with methyl and hydroxyl;

R^8 is H or $C_1 \sim C_4$ branched or straight chain alkyl;

R^9 is $C_1 \sim C_3$ alkyl optionally substituted with NR^6R^7 ;

R^{10} and R^{11} are each independently H or $C_1 \sim C_{12}$ branched or straight chain alkyl; $C_1 \sim C_3$ halogenated branched or straight chain alkyl; $C_2 \sim C_6$ alkenyl;

- 5 $C_2 \sim C_6$ alkynyl or $C_3 \sim C_6$ cylcoalkyl; or R^{10} and R^{11} taken together to form a pyrrolinyl, pyrrolinone group, piperidyl, morpholinyl, 4- $N(R^{13})$ -piperazinyl; or R^{10} and R^{11} together with their attached nitrogen atom form a pyrrolinyl, pyrrolidone group, piperidyl, morpholinyl, 4- $N(R^{13})$ -piperazinyl; the said groups are optionally substituted with
- 10 OH, CN, CO_2R^8 , $C_1 \sim C_4$ branched or straight chain alkyl, $C_1 \sim C_3$ alkoxy, $NR^{14}R^{15}$, or $CONR^{14}R^{15}$; substituted phenyl, substituted heterocyclic group, or $C_1 \sim C_6$ branched or straight alkyl substituted with substituted phenyl or substituted heterocyclic group, the said groups are further substituted with OH, CO_2R^8 , $NR^{14}R^{15}$, $CONR^{14}R^{15}$, or linked together with another
- 15 substituted phenyl or substituted heterocyclic group by a carbonyl group;

R^{12} is H; $C_1 \sim C_6$ branched or straight chain alkyl which may be optionally substituted with $C_2 \sim C_3$ alkyl or $C_1 \sim C_4$ alkoxy, the said alkyl and alkoxy are substituted with phenyl, hydroxyl; $C_2 \sim C_6$ alkenyl or $C_3 \sim C_6$ cylcoalkyl;

- 20 R^{13} is H; $C_1 \sim C_6$ branched or straight chain alkyl; $C_2 \sim C_6$ branched or straight chain alkyl substituted with $C_1 \sim C_3$ alkoxy; $C_2 \sim C_6$ branched or straight chain alkyl substituted with hydroxyl; $C_2 \sim C_6$ branched or straight chain alkyl substituted with $NR^{14}R^{15}$; $C_2 \sim C_3$ branched or straight chain alkyl substituted with phenyl; $C_1 \sim C_6$ branched or straight chain alkyl substituted with $CONR^{14}R^{15}$; CO_2R^8 , $CONR^{14}R^{15}$, $CSNR^{14}R^{15}$ or $C(NH)NR^{14}R^{15}$; $C_1 \sim C_3$ halogenated branched or straight chain alkyl; $C_2 \sim C_6$ alkenyl; $C_2 \sim C_6$ alkynyl or $C_3 \sim C_6$ cylcoalkyl;

R^{14} and R^{15} are each independently H; $C_1 \sim C_4$ branched or straight chain alkyl; $C_2 \sim C_4$ branched or straight chain alkyl substituted with $C_1 \sim C_3$

alkoxyl; or C₂~C₄ branched or straight chain alkyl substituted with hydroxyl; or R¹⁴ and R¹⁵ together with their attached nitrogen atom form pyrrolinyl, pyrrolinone group, piperidyl, or morpholinyl;

The substituted phenyl refers to a phenyl group which is substituted
5 with one or more groups selected from C₁~C₄ alkoxy, halogen, CN, CF₃, OCF₃, or C₁~C₄ branched or straight chain alkyl; the substituted heterocyclic group refers to hexatomic rings containing one or two nitrogen atoms, and the oxide thereof; or pentatomic rings containing two or three hetero-atom selected a group consisted of nitrogen, oxygen
10 and sulfur atoms; the substituents on the heterocyclic ring are C₁~C₄ branched or straight chain alkyl, C₁~C₄ alkoxy, amino, as well as C₁~C₄ branched or straight chain alkyl amino, C₁~C₄ alkoxylamino.

3. (currently amended) The compound according to claim 1 or 2, wherein

R¹ is C₂~C₃ branched or straight chain alkyl which may be optionally
15 substituted with one or more groups selected from substituted heterocyclic group and NR⁶R⁷;

R² is H;

R³ is H; C₂~C₄ branched or straight chain alkyl which may be optionally substituted with C₃~C₄ cycloalkyl; C₂~C₄ alkenyl; C₂~C₄ alkynyl;

20 R⁴ is C₂~C₄ branched or straight chain alkyl, which may be optionally substituted with C₁~C₃ alkoxy; C₂~C₄ alkenyl; C₂~C₄ alkynyl;

R⁵ is SO₂NR¹⁰R¹¹;

R⁶ and R⁷ together with their attached nitrogen atom form a pyrrolinyl, piperidyl or morpholinyl;

25 R⁸ is H or C₁~C₄ branched or straight chain alkyl;

R¹⁰ and R¹¹ are each independently H or C₁~C₁₂ branched or straight chain alkyl; C₃~C₆ cylcoalkyl; or R¹⁰ and R¹¹ take together to form a pyrrolinyl,

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pyrrolinone group, piperidyl, morpholinyl, 4-N(R¹³)-piperazinyl; or R¹⁰ and R¹¹ together with their attached nitrogen atom form a pyrrolinyl, pyrrolinone group, piperidyl, morpholinyl, or 4-N(R¹³)-piperazinyl; the said groups are optionally substituted with OH, C₁-C₄ branched or straight chain alkyl, C₁-C₃ alkoxy, NR¹⁴R¹⁵, or CONR¹⁴R¹⁵; substituted phenyl, substituted heterocyclic group, or C₁-C₆ branched or straight alkyl optionally substituted with substituted phenyl, substituted heterocyclic group, the said groups are further substituted with OH, CO₂R⁸, NR¹⁴R¹⁵ or CONR¹³R¹⁴, or linked together with another substituted phenyl or substituted heterocyclic group by a carbonyl;

R¹³ is H; C₁-C₃ branched or straight chain alkyl; C₂-C₃ branched or straight chain alkyl substituted with C₁-C₃ alkoxy; C₂-C₃ branched or straight chain alkyl substituted with OH; C₂-C₆ branched or straight chain alkyl substituted with NR¹⁴R¹⁵; C₂-C₃ branched or straight chain alkyl substituted with phenyl; C₁-C₆ branched or straight chain alkyl substituted with CONR¹⁴R¹⁵; CO₂R⁸ or CONR¹⁴R¹⁵;

R¹⁴ and R¹⁵ are each independently H; C₁-C₄ branched or straight chain alkyl; C₂-C₄ branched or straight chain alkyl substituted with C₁-C₃ alkoxy; or C₂-C₄ branched or straight chain alkyl substituted with OH; or R¹⁴ and R¹⁵ together with their attached nitrogen atom form a pyrrolinyl, pyrrolinone group, piperidyl or morpholinyl;

the substituted phenyl refers to a phenyl group which is substituted with one or more substituents selected from a group consisted of C₁-C₄ alkoxy, halogen, CN, CF₃, OCF₃, and C₁-C₄ branched or straight chain alkyl; the substituted heterocyclic group refers to hexatomic rings containing one or two nitrogen atoms and the oxide thereof; or pentatomic rings containing two or three hetero-atom selected a group consisted of nitrogen, oxygen, and sulfur atoms; the substituents on the heterocyclic ring are C₁-C₄ branched or straight chain alkyl, C₁-C₄

alkoxyl, amino, as well as C₁~C₄ branched or straight chain alkyl amino, C₁~C₄ alkoxylamino.

4. (currently amended) The compound according to claim [1]-3, wherein the compound is selected from a group consisting of:

5 2-[2-ethoxyl-5-(4-ethylpiperazinyl-1-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

10 2-[2-methoxyl-5-(4-ethylpiperazinyl-1-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, and the hydrochloride thereof;

2-[2-n-propoxy-5-(4-ethylpiperazinyl-1-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, and the hydrochloride thereof;

15 2-[2-allyloxy-5-(4-ethylpiperazinyl-1-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, and the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

20 2-[2-n-propoxy-5-(4-ethylpiperazinyl-1-sulfonyl)phenyl]-5-ethyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

25 2-[2-ethoxyl-5-(4-methylpiperazinyl-1-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

2-[2-ethoxyl-5-(4-methylpiperazinyl-1-sulfonyl)phenyl]-5-ethyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the

monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

2-[2-ethoxyl-5-(4-ethoxycarbonylpiperazinyl-1-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

2-[2-ethoxyl-5-(4-(2-hydroxyethyl)piperazinyl-1-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

2-[2-ethoxyl-5-(pyrrolidinyl-1-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

2-[2-ethoxyl-5-[3-(2-oxy-pyrrolidin-1-yl)-n-propylamino-N-sulfonyl]phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

2-[2-ethoxyl-5-[2-(pyrrolidin-1-yl)-ethylamino-N-sulfonyl]phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

2-[2-ethoxyl-5-(morpholino-4-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

2-[2-ethoxyl-5-(3-(morpholin-4-yl)-n-propylamino-N-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible

hydrochloride thereof;

2-[2-ethoxy-5-(2-(morpholin-4-yl)-ethylamino-N-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride
5 thereof;

2-[2-ethoxy-5-(2,6-dimethylmorpholino-N-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

10 2-[2-ethoxy-5-(1-benzylpiperidyl-4-aminosulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

15 2-[2-ethoxy-5-(2-(piperidin-1-yl)ethylamino-1-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

20 2-[2-ethoxy-5-(4-benzylpiperazinyl-1-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

25 2-[2-ethoxy-5-(4-phenylpiperazinyl-1-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

2-[2-ethoxy-5-(piperazinyl-1-sulfonyl)phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride

thereof;

2-[2-ethoxyl-5-(4-benzo[1,3]dioxol-5-yl-methyl) piperazinyl-1-sulfonyl] phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other
5 possible hydrochloride thereof;

2-{2-ethoxyl-5-[4-(3-phenyl-n-propan-1-yl)piperidyl-1-sulfonyl] phenyl}-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

10 2-[2-ethoxyl-5-(n-propylamino-1-sulfonyl) phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

15 2-[2-ethoxyl-5-(N,N-di(2-hydroxyethyl)aminosulfonyl) phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

20 2-{2-ethoxyl-5-[N-(2-hydroxyethyl)-N-methyl] aminosulfonyl} phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

25 2-{2-ethoxyl-5-[N-(2-hydroxyethyl)-N-ethyl] aminosulfonyl} phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

2-{2-ethoxyl-5-[N-(2-hydroxyethyl)-N-n-butyl] aminosulfonyl} phenyl]-5-methyl-7-n-propyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride

thereof;

2-{2-ethoxyl-5-(p-ethoxylcarboxylphenylamino)-N-sulfonyl}phenyl}-5-methyl-7-n-propyl-3,7-dihdropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride
5 thereof;

2-{2-ethoxyl-5-(o-benzoylphenylamino)-N-sulfonyl}phenyl}-5-methyl-7-n-propyl-3,7-dihdropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

10 2-{2-ethoxyl-5-(N₂-acethydrazido)-N₁-sulfonyl}phenyl}-5-methyl-7-n-propyl-3,7-dihdropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride and dihydrochloride and other possible hydrochloride thereof;

15 2-{2-ethoxyl-5-(2-dimethylaminoethylamino)-N-sulfonyl}phenyl}-5-methyl-7-n-propyl-3,7-dihdropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

20 2-[2-ethoxyl-5-(4-ethylpiperazinyl-1-sulfonyl)phenyl]-5-ethyl-7-n-propyl-3,7-dihdropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

25 2-[2-ethoxyl-5-(4-ethylpiperazinyl-1-sulfonyl)phenyl]-5-morpholinomethyl-7-n-propyl-3,7-dihdropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof;

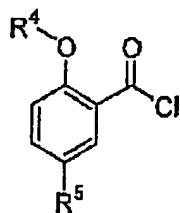
2-[2-ethoxyl-5-(4-ethylpiperazinyl-1-sulfonyl)phenyl]-5-(pyrimidinyl-1-2)-methyl-7-n-propyl-3,7-dihdropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride

thereof; and

2-[2-ethoxyl-5-(4-ethylpiperazinyl-1-sulfonyl)phenyl]-5-methyl-7-allyl-3,7-dihydropyrrolo[2,3-d]pyrimidin-4-one, the monohydrochloride, dihydrochloride and other possible hydrochloride thereof.

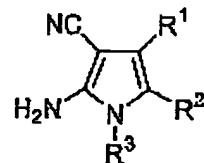
5. (original) A process for preparing the compounds of general formula I according to claim 1, comprising:

compound IE is reacted with compound IF:



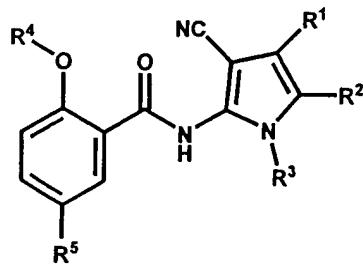
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IE



IF

in an inert solvent in the presence of an organic base as a catalyst as well as acid neutralizer at -20°C to 80°C, wherein R^1 , R^2 , R^3 , R^4 and R^5 are defined as claim 1, to obtain compound ID:

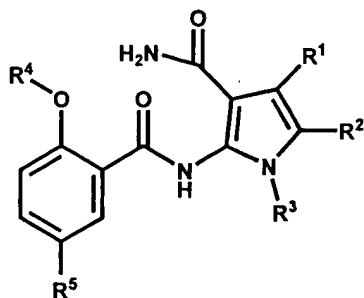


15

ID

wherein R^1 , R^2 , R^3 , R^4 and R^5 are defined as the above,

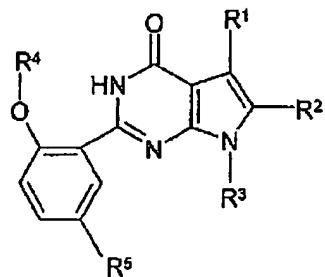
then compound IA may be prepared by heating compound ID in an acidic aqueous solution:



IA

wherein R¹, R², R³, R⁴ and R⁵ are defined as the above,

and then a cyclization reaction of compound IA is carried out by heating
5 and refluxing in an appropriate solution under acidic, basic or neutral
condition to obtain compound of formula I:



I

wherein R¹, R², R³, R⁴ and R⁵ are defined as the above;

10 or,

compound of formula I is obtained directly by cyclization of the corresponding compound ID under conditions as follows:

a) heating to 100~300°C in mixture of phosphorus pentoxide, water and tertiary amine, or,

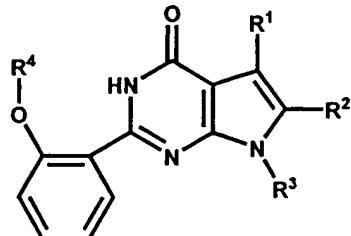
15 b) reacting at room temperature or reacting by heating in basic hydrogen peroxide aqueous solution, or,

c) reacting at room temperature or reacting by heating under acidic hydrous or anhydrous condition;

or,

specific example of compounds of general formula I wherein R⁵ is SO₂NR¹¹R¹² may be prepared by processes as follows:

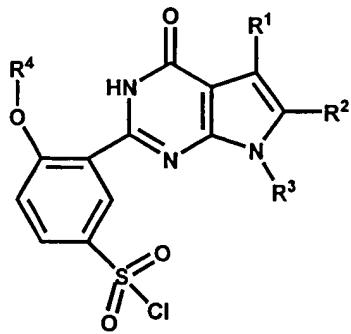
compound IC is obtained by reaction between compound IF and compound
5 IE wherein R⁵ is H;



IC

wherein R¹, R², R³ and R⁴ are defined as the above,

then compound IB is obtained by reacting compound IC with chlorosulfonic
10 acid alone or in dichloromethane, chloroform, and other inert or polar
non-proton solvents ,



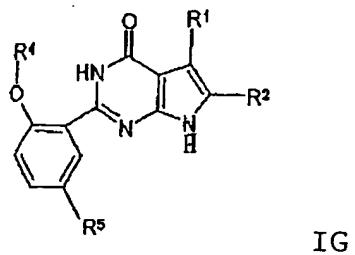
IB

wherein R¹, R², R³ and R⁴ are as previously defined,

15 and then acylation reaction occurs between compound IB and proper amines in dichloromethane, chloroform, tertiary amine or other inert or polar non-proton solvents at -78°C to 100°C to obtain compound of formula I wherein R⁵ is SO₂NR¹¹R¹², wherein R¹¹ and R¹² are defined as claim 1;

or,

compound IG



R³-X IH

wherein R¹, R², R³, R⁴ and R⁵ are defined as claim 1, reacts with compound
5 IH in the solvents of polar non-proton solvents in the presence of the
base as catalyst to obtain compound of formula I, wherein X represents
Cl, Br or I, R³ is defined as claim 1;

Optionally, compounds of the formula I can be converted into the
corresponding salts by reacting with pharmaceutically acceptable acids.

10 6. (currently amended) A pharmaceutical composition containing the
compound according to ~~any one of~~ claim[s] 1[-7] as active ingredient,
and pharmaceutically acceptable excipient.

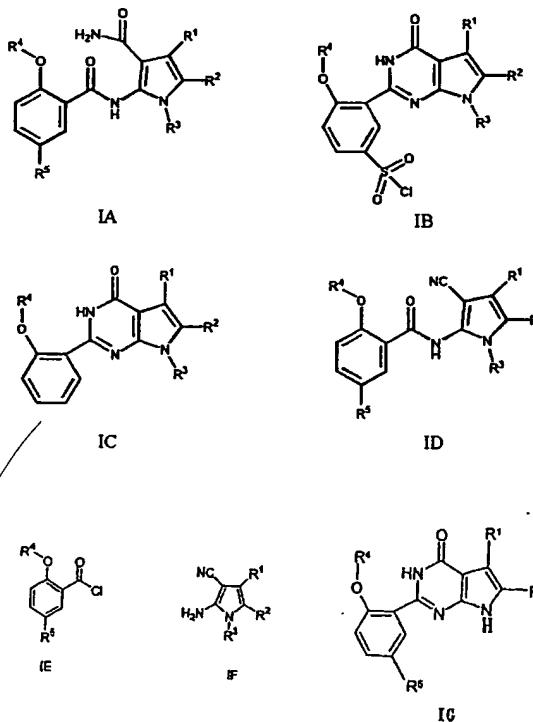
15 7. (currently amended) A veterinary drugs composition containing the
compound according to any one of claim[s] 1[-7] as active ingredient,
and veterinarianily acceptable excipient.

8. (currently amended) Use of compounds according to any one of
claim[s] [1-]7 for the manufacture of a medicament for treatment or
prevention of the diseases related with phospholipase and the
function thereof.

9. (currently amended) The use according to claim ~~11~~⁸ wherein the diseases includes: male
20 sexual (erectile) dysfunction, female sexual dysfunction, premature
delivery, dysmenorrhea, benign prostatic hyperlasia, bladder
obstruction, incontinence, stable or unstable angina, hypertension,
pulmonary hypertension, congestive heart failure, arteriosclerosis,
stroke, peripheral circulatory disease, low vascular patency, chronic

asthma, allergic asthma, bronchitis, allergic rhinitis, glaucoma, disorder of the gastrointestinal movement, forerunner of the seizure, Kawasaki disease, tolerance of nitric acid ester, multiple sclerosis, peripheral nerve syndrome caused by diabetes, Alzheimer disease (AD),
5 acute respiratory system failure, psoriasis, skin gangrene, metastasis of cancer cell, loss of hair, nutcracker esophagus, anal fissure, and hypoxia-induced vasoconstriction.

10. (original) Intermediates IA-IG for the manufacture of compound of formula I according to claims 1:



10